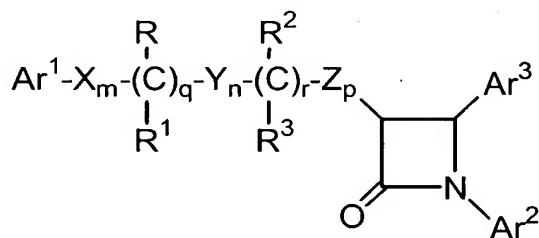


THEREFORE, WE CLAIM:

1. A method of treating or preventing demyelination in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.
- 5
2. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):

10



(I)

15 or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

Ar<sup>1</sup> and Ar<sup>2</sup> are independently selected from the group consisting of aryl and R<sup>4</sup>-substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

20 X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R<sup>2</sup> are independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> and -O(CO)NR<sup>6</sup>R<sup>7</sup>;

25 R<sup>1</sup> and R<sup>3</sup> are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

$R^4$  is 1-5 substituents independently selected from the group consisting of

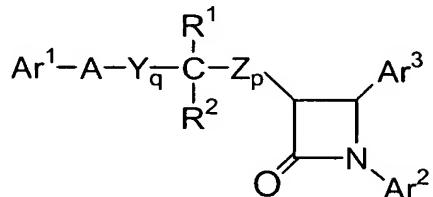
5 lower alkyl,  $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  
 $-NR^6R^7$ ,  $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  
 $-CONR^6R^7$ ,  $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}COOR^6$ ,  
 $-O(CH_2)_{1-10}CONR^6R^7$ ,  $-(\text{lower alkylene})COOR^6$ ,  $-CH=CH-COOR^6$ ,  $-CF_3$ ,  $-CN$ ,  
 $-NO_2$  and halogen;

10  $R^5$  is 1-5 substituents independently selected from the group consisting of  
 $-OR^6$ ,  $-O(CO)R^6$ ,  $-O(CO)OR^9$ ,  $-O(CH_2)_{1-5}OR^6$ ,  $-O(CO)NR^6R^7$ ,  $-NR^6R^7$ ,  
 $-NR^6(CO)R^7$ ,  $-NR^6(CO)OR^9$ ,  $-NR^6(CO)NR^7R^8$ ,  $-NR^6SO_2R^9$ ,  $-COOR^6$ ,  $-CONR^6R^7$ ,  
 $-COR^6$ ,  $-SO_2NR^6R^7$ ,  $S(O)_{0-2}R^9$ ,  $-O(CH_2)_{1-10}COOR^6$ ,  $-O(CH_2)_{1-10}CONR^6R^7$ ,  
 $-(\text{lower alkylene})COOR^6$  and  $-CH=CH-COOR^6$ ;

15  $R^6$ ,  $R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

$R^9$  is lower alkyl, aryl or aryl-substituted lower alkyl.

3. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):



(III)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (III) above:

25  $Ar^1$  is  $R^3$ -substituted aryl;

$Ar^2$  is  $R^4$ -substituted aryl;

Ar<sup>3</sup> is R<sup>5</sup>-substituted aryl;

Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

A is selected from -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

5 R<sup>1</sup> is selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> and -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>2</sup> is selected from the group consisting of hydrogen, lower alkyl and aryl; or R<sup>1</sup> and R<sup>2</sup> together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

10 R<sup>5</sup> is 1-3 substituents independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>9</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>-lower alkyl, -NR<sup>6</sup>SO<sub>2</sub>-aryl, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>-alkyl, S(O)<sub>0-2</sub>-aryl, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR<sup>6</sup>, and

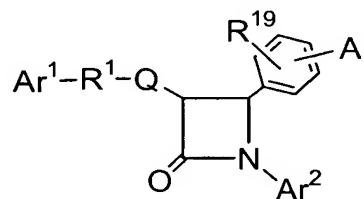
15 -CH=CH-COOR<sup>6</sup>;

R<sup>3</sup> and R<sup>4</sup> are independently 1-3 substituents independently selected from the group consisting of R<sup>5</sup>, hydrogen, p-lower alkyl, aryl, -NO<sub>2</sub>, -CF<sub>3</sub> and p-halogeno;

20 R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl.

4. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IV):



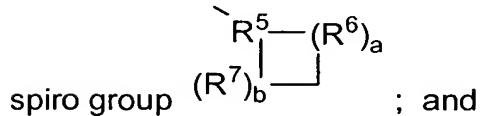
or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of  $R^2$ -substituted heterocycloalkyl,  $R^2$ -substituted heteroaryl,  $R^2$ -substituted benzofused heterocycloalkyl, and  $R^2$ -substituted benzofused heteroaryl;

5  $Ar^1$  is aryl or  $R^3$ -substituted aryl;

$Ar^2$  is aryl or  $R^4$ -substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



$R^1$  is selected from the group consisting of:

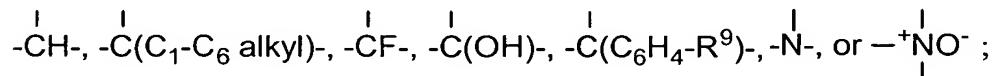
15  $-(CH_2)_q-$ , wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

$-(CH_2)_e-G-(CH_2)_r-$ , wherein G is  $-O-$ ,  $-C(O)-$ , phenylene,  $-NR^8-$  or  $-S(O)_{0-2-}$ , e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

15  $-(C_2-C_6 \text{ alkenylene})-$ ; and

$-(CH_2)_f-V-(CH_2)_g-$ , wherein V is  $C_3-C_6$  cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

$R^5$  is selected from:



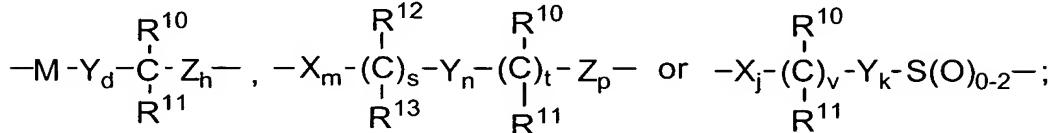
20  $R^6$  and  $R^7$  are independently selected from the group consisting of  $-CH_2-$ ,  $-CH(C_1-C_6 \text{ alkyl})-$ ,  $-C(\text{di-}(C_1-C_6) \text{ alkyl})-$ ,  $-CH=CH-$  and

$-C(C_1-C_6 \text{ alkyl})=CH-$ ; or  $R^5$  together with an adjacent  $R^6$ , or  $R^5$  together with an adjacent  $R^7$ , form a  $-CH=CH-$  or a  $-CH=C(C_1-C_6 \text{ alkyl})-$  group;

25 a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when  $R^6$  is  $-CH=CH-$  or  $-C(C_1-C_6 \text{ alkyl})=CH-$ , a is 1; provided that when  $R^7$  is

-CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R<sup>6</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>7</sup>'s can be the same or different;

and when Q is a bond, R<sup>1</sup> also can be selected from:



5

where M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-;

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)- and -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl);

10 R<sup>10</sup> and R<sup>12</sup> are independently selected from the group consisting of -OR<sup>14</sup>, -O(CO)R<sup>14</sup>, -O(CO)OR<sup>16</sup> and -O(CO)NR<sup>14</sup>R<sup>15</sup>;

R<sup>11</sup> and R<sup>13</sup> are independently selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>10</sup> and R<sup>11</sup> together are =O, or R<sup>12</sup> and R<sup>13</sup> together are =O; d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

15 s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

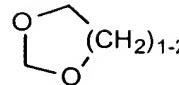
20 j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

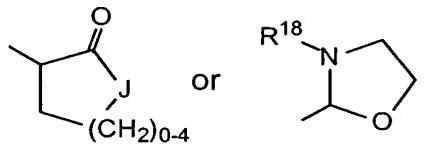
R<sup>2</sup> is 1-3 substituents on the ring carbon atoms selected from the group consisting of hydrogen, (C<sub>1</sub>-C<sub>10</sub>)alkyl, (C<sub>2</sub>-C<sub>10</sub>)alkenyl, (C<sub>2</sub>-C<sub>10</sub>)alkynyl,

(C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkenyl, R<sup>17</sup>-substituted aryl, R<sup>17</sup>-substituted benzyl, R<sup>17</sup>-substituted benzyloxy, R<sup>17</sup>-substituted aryloxy, halogeno, -NR<sup>14</sup>R<sup>15</sup>,

25 NR<sup>14</sup>R<sup>15</sup>(C<sub>1</sub>-C<sub>6</sub> alkylene)-, NR<sup>14</sup>R<sup>15</sup>C(O)(C<sub>1</sub>-C<sub>6</sub> alkylene)-, -NHC(O)R<sup>16</sup>,

OH, C<sub>1</sub>-C<sub>6</sub> alkoxy, -OC(O)R<sup>16</sup>, -COR<sup>14</sup>, hydroxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)alkyl, NO<sub>2</sub>, -S(O)<sub>0-2</sub>R<sup>16</sup>, -SO<sub>2</sub>NR<sup>14</sup>R<sup>15</sup> and -(C<sub>1</sub>-C<sub>6</sub> alkylene)COOR<sup>14</sup>; when R<sup>2</sup> is a

substituent on a heterocycloalkyl ring,  $R^2$  is as defined, or is  $=O$  or ; and, where  $R^2$  is a substituent on a substitutable ring nitrogen, it is hydrogen,  $(C_1-C_6)$ alkyl, aryl,  $(C_1-C_6)$ alkoxy, aryloxy,  $(C_1-C_6)$ alkylcarbonyl, arylcarbonyl, hydroxy,  $-(CH_2)_{1-6}CONR^{18}R^{18}$ ,



wherein  $J$  is  $-O-$ ,  $-NH-$ ,  $-NR^{18}-$  or  $-CH_2-$ ;

$R^3$  and  $R^4$  are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of  $(C_1-C_6)$ alkyl,  $-OR^{14}$ ,  $-O(CO)R^{14}$ ,  $-O(CO)OR^{16}$ ,  $-O(CH_2)_{1-5}OR^{14}$ ,  $-O(CO)NR^{14}R^{15}$ ,  $-NR^{14}R^{15}$ ,  $-NR^{14}(CO)R^{15}$ ,  $-NR^{14}(CO)OR^{16}$ ,  $-NR^{14}(CO)NR^{15}R^{19}$ ,  $-NR^{14}SO_2R^{16}$ ,  $-COOR^{14}$ ,  $-CONR^{14}R^{15}$ ,  $-COR^{14}$ ,  $-SO_2NR^{14}R^{15}$ ,  $S(O)_{0-2}R^{16}$ ,  $-O(CH_2)_{1-10}COOR^{14}$ ,  $-O(CH_2)_{1-10}CONR^{14}R^{15}$ ,  $-(C_1-C_6)$ alkylene- $COOR^{14}$ ,  $-CH=CH-COOR^{14}$ ,  $-CF_3$ ,  $-CN$ ,  $-NO_2$  and halogen;

$R^8$  is hydrogen,  $(C_1-C_6)$ alkyl, aryl  $(C_1-C_6)$ alkyl,  $-C(O)R^{14}$  or  $-COOR^{14}$ ;

15  $R^9$  and  $R^{17}$  are independently 1-3 groups independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl,  $(C_1-C_6)$ alkoxy,  $-COOH$ ,  $NO_2$ ,  $-NR^{14}R^{15}$ , OH and halogeno;

$R^{14}$  and  $R^{15}$  are independently selected from the group consisting of hydrogen,  $(C_1-C_6)$ alkyl, aryl and aryl-substituted  $(C_1-C_6)$ alkyl;

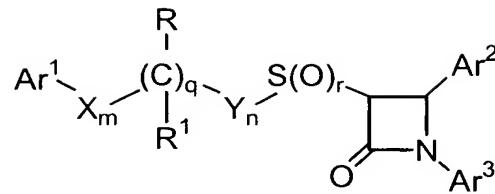
20  $R^{16}$  is  $(C_1-C_6)$ alkyl, aryl or  $R^{17}$ -substituted aryl;

$R^{18}$  is hydrogen or  $(C_1-C_6)$ alkyl; and

$R^{19}$  is hydrogen, hydroxy or  $(C_1-C_6)$ alkoxy.

5. The method according to claim 1, wherein the at least one sterol

25 absorption inhibitor is represented by Formula (V):



(V)

5 or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (V) above:

Ar<sup>1</sup> is aryl, R<sup>10</sup>-substituted aryl or heteroaryl;

Ar<sup>2</sup> is aryl or R<sup>4</sup>-substituted aryl;

Ar<sup>3</sup> is aryl or R<sup>5</sup>-substituted aryl;

10 X and Y are independently selected from the group consisting of -CH<sub>2</sub>-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R is -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup> or -O(CO)NR<sup>6</sup>R<sup>7</sup>; R<sup>1</sup> is hydrogen, lower alkyl or aryl; or R and R<sup>1</sup> together are =O;

15 q is 0 or 1;

r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and q is 1, 2, 3, 4 or 5;

20 R<sup>4</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>,

-NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>,

-CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>,

-O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

25 R<sup>5</sup> is 1-5 substituents independently selected from the group consisting of -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>,

-NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>,

-SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub>,

halogen,

-(lower alkylene)COOR<sup>6</sup> and -CH=CH-COOR<sup>6</sup>;

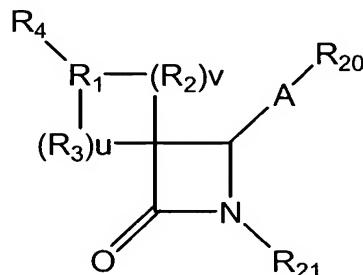
R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R<sup>9</sup> is lower alkyl, aryl or aryl-substituted lower alkyl; and

R<sup>10</sup> is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR<sup>6</sup>, -O(CO)R<sup>6</sup>, -O(CO)OR<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>6</sup>, -O(CO)NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NR<sup>6</sup>(CO)R<sup>7</sup>, -NR<sup>6</sup>(CO)OR<sup>9</sup>, -NR<sup>6</sup>(CO)NR<sup>7</sup>R<sup>8</sup>, -NR<sup>6</sup>SO<sub>2</sub>R<sup>9</sup>, -COOR<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, -S(O)<sub>0-2</sub>R<sup>9</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>6</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>6</sup>R<sup>7</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen.

10

6. The method according to claim 1, where the at least one sterol absorption inhibitor is represented by Formula (VI):



15 (VI)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein:

R<sub>1</sub> is

-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C<sub>6</sub>H<sub>5</sub>)-, -C(C<sub>6</sub>H<sub>4</sub>-R<sub>15</sub>)-,

-N- or -<sup>+</sup>N O<sup>-</sup>;

20

R<sub>2</sub> and R<sub>3</sub> are independently selected from the group consisting of:

-CH<sub>2</sub>-, -CH(lower alkyl)-, -C(di-lower alkyl)-, -CH=CH- and -C(lower alkyl)=CH-; or

R<sub>1</sub> together with an adjacent R<sub>2</sub>, or R<sub>1</sub> together with an adjacent R<sub>3</sub>, form a

-CH=CH- or a -CH=C(lower alkyl)- group;

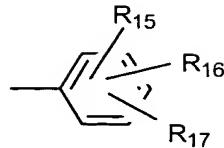
u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that when R<sub>2</sub> is -CH=CH- or -C(lower alkyl)=CH-, v is 1; provided that when R<sub>3</sub> is -CH=CH- or -C(lower alkyl)=CH-, u is 1; provided that when v is 2 or 3, the R<sub>2</sub>'s can be the same or different; and provided that when u is 2 or 3, the R<sub>3</sub>'s can be the same or different;

5 same or different;

R<sub>4</sub> is selected from B-(CH<sub>2</sub>)<sub>m</sub>C(O)-, wherein m is 0, 1, 2, 3, 4 or 5; B-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 0, 1, 2, 3, 4, 5 or 6; B-(CH<sub>2</sub>)<sub>e</sub>-Z-(CH<sub>2</sub>)<sub>r</sub>, wherein Z is -O-, -C(O)-, phenylene, -N(R<sub>8</sub>)- or -S(O)O-2-, e is 0, 1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4, 10 5 or 6; B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-; B-(C<sub>4</sub>-C<sub>6</sub> alkadienylene)-; B-(CH<sub>2</sub>)<sub>t</sub>-Z-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-, wherein Z is as defined above, and wherein t is 0, 1, 2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene 15 chain is 2, 3, 4, 5 or 6; B-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0, 1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6; B-(CH<sub>2</sub>)<sub>t</sub>-V-(C<sub>2</sub>-C<sub>6</sub> alkenylene)- or B-(C<sub>2</sub>-C<sub>6</sub> alkenylene)-V-(CH<sub>2</sub>)<sub>t</sub>-, wherein V and t are as defined above, provided that 20 the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6; B-(CH<sub>2</sub>)<sub>a</sub>-Z-(CH<sub>2</sub>)<sub>b</sub>-V-(CH<sub>2</sub>)<sub>d</sub>-, wherein Z and V are as defined above and a, b and d are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a, b and d is 0, 1, 2, 3, 4, 5 or 6; or T-(CH<sub>2</sub>)<sub>s</sub>-, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3, 4, 5 or 6; or

25 R<sub>1</sub> and R<sub>4</sub> together form the group B-CH=C- ;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides 30 thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF<sub>3</sub>, -OCF<sub>3</sub>, benzyl, R<sub>7</sub>-benzyl, benzyloxy, R<sub>7</sub>-benzyloxy, phenoxy, R<sub>7</sub>-phenoxy, dioxolanyl, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, OH, halogeno, -CN, -N<sub>3</sub>, -NHC(O)OR<sub>10</sub>, -NHC(O)R<sub>10</sub>, R<sub>11</sub>O<sub>2</sub>SNH-, (R<sub>11</sub>O<sub>2</sub>S)N-, -S(O)<sub>2</sub>NH<sub>2</sub>, -S(O)O-<sub>2</sub>R<sub>8</sub>, tert-butyldimethyl-silyloxyethyl, -C(O)R<sub>12</sub>, -COOR<sub>19</sub>, -CON(R<sub>8</sub>)(R<sub>9</sub>), -CH=CHC(O)R<sub>12</sub>, -lower alkylene-C(O)R<sub>12</sub>, R<sub>10</sub>C(O)(lower alkyleneoxy)-, N(R<sub>8</sub>)(R<sub>9</sub>)C(O)(lower

10 alkyleneoxy)- and  $-\text{CH}_2-\text{N}(\text{R}_{13})$  for substitution on ring carbon atoms, and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR<sub>10</sub>, -C(O)R<sub>10</sub>, OH, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkylene-, N(R<sub>8</sub>)(R<sub>9</sub>)-lower alkyleneoxy-, -S(O)<sub>2</sub>NH<sub>2</sub> and 2-(trimethylsilyl)-ethoxymethyl;

15 R<sub>7</sub> is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO<sub>2</sub>, -N(R<sub>8</sub>)(R<sub>9</sub>), OH, and halogeno;

R<sub>8</sub> and R<sub>9</sub> are independently selected from H or lower alkyl;

20 R<sub>10</sub> is selected from lower alkyl, phenyl, R<sub>7</sub>-phenyl, benzyl or R<sub>7</sub>-benzyl;

R<sub>11</sub> is selected from OH, lower alkyl, phenyl, benzyl, R<sub>7</sub>-phenyl or R<sub>7</sub>-benzyl;

R<sub>12</sub> is selected from H, OH, alkoxy, phenoxy, benzyloxy,

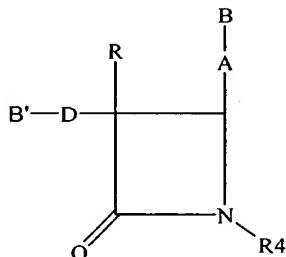
$-\text{N}(\text{R}_{13})$ , -N(R<sub>8</sub>)(R<sub>9</sub>), lower alkyl, phenyl or R<sub>7</sub>-phenyl;

R<sub>13</sub> is selected from -O-, -CH<sub>2</sub>-, -NH-, -N(lower alkyl)- or -NC(O)R<sub>19</sub>;

25 R<sub>15</sub>, R<sub>16</sub> and R<sub>17</sub> are independently selected from the group consisting of H and the groups defined for W; or R<sub>15</sub> is hydrogen and R<sub>16</sub> and R<sub>17</sub>, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

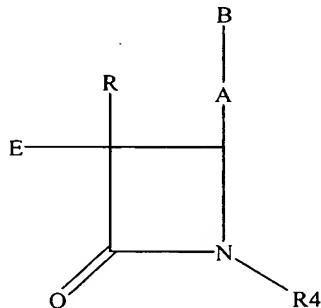
R19 is H, lower alkyl, phenyl or phenyl lower alkyl; and  
R20 and R21 are independently selected from the group consisting of phenyl,  
W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl,  
tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused  
5 heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl  
is as defined above.

7. The method according to claim 1, wherein the at least one sterol  
absorption inhibitor is represented by Formula (VIIA) or (VIIIB):



(VIIA)

or

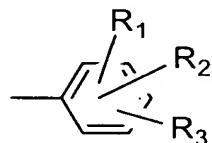


(VIIIB)

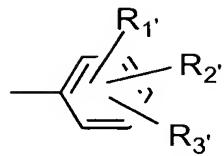
15 or a pharmaceutically acceptable salt or solvate thereof,  
wherein:

A is -CH=CH-, -C≡C- or -(CH<sub>2</sub>)<sub>p</sub>- wherein p is 0, 1 or 2;

B is



20 B' is



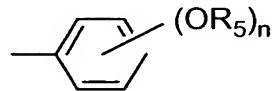
D is  $-(CH_2)_mC(O)-$  or  $-(CH_2)_q-$  wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C<sub>10</sub> to C<sub>20</sub> alkyl or  $-C(O)-(C_9 \text{ to } C_{19})-$ alkyl, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

5 R is hydrogen, C<sub>1</sub>-C<sub>15</sub> alkyl, straight or branched, saturated or containing one or more double bonds, or B- $(CH_2)_r-$ , wherein r is 0, 1, 2, or 3;

R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>1'</sub>, R<sub>2'</sub>, and R<sub>3'</sub> are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR<sub>5</sub>, R<sub>6</sub>O<sub>2</sub>SNH- and -S(O)<sub>2</sub>NH<sub>2</sub>;

10 R<sub>4</sub> is



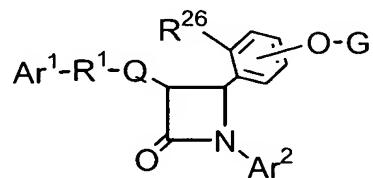
wherein n is 0, 1, 2 or 3;

R<sub>5</sub> is lower alkyl; and

15 R<sub>6</sub> is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO<sub>2</sub>, NH<sub>2</sub>, OH, halogeno, lower alkylamino and dilower alkylamino;

or a pharmaceutically acceptable salt thereof or a prodrug thereof.

20 8. The method according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIII):

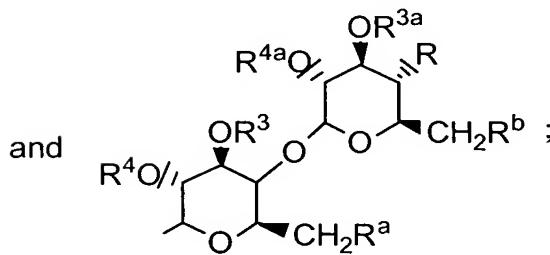
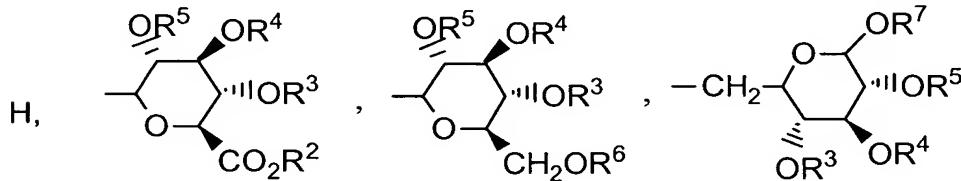


(VIII)

or a pharmaceutically acceptable salt thereof or a solvate thereof, wherein, in Formula (VIII) above,

$R^{26}$  is H or  $OG^1$ ;

$G$  and  $G^1$  are independently selected from the group consisting of



provided that when  $R^{26}$  is H or

5 OH,  $G$  is not H;

$R$ ,  $R^a$  and  $R^b$  are independently selected from the group consisting of H, -OH, halogeno, -NH<sub>2</sub>, azido, (C<sub>1</sub>-C<sub>6</sub>)alkoxy(C<sub>1</sub>-C<sub>6</sub>)-alkoxy or -W-R<sup>30</sup>;

$W$  is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R<sup>31</sup>)-, -NH-C(O)-N(R<sup>31</sup>)- and -O-C(S)-N(R<sup>31</sup>)-;

10  $R^2$  and  $R^6$  are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  $R^{3a}$  and  $R^{4a}$  are independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)(C<sub>1</sub>-C<sub>6</sub>)alkyl and -C(O)aryl;

15  $R^{30}$  is selected from the group consisting of  $R^{32}$ -substituted T,  $R^{32}$ -substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $R^{32}$ -substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl,  $R^{32}$ -substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl,  $R^{32}$ -substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and  $R^{32}$ -substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

$R^{31}$  is selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

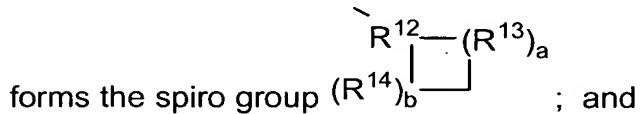
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents independently selected from the group consisting of halogeno, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH, phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup> is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar<sup>1</sup> is aryl or R<sup>10</sup>-substituted aryl;

Ar<sup>2</sup> is aryl or R<sup>11</sup>-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,



R<sup>1</sup> is selected from the group consisting of

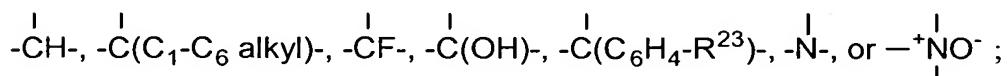
-(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 2-6, provided that when Q forms a spiro ring, q can also be zero or 1;

-(CH<sub>2</sub>)<sub>e</sub>-E-(CH<sub>2</sub>)<sub>r</sub>-, wherein E is -O-, -C(O)-, phenylene, -NR<sup>22</sup>- or -S(O)O-2-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

-(C<sub>2</sub>-C<sub>6</sub>)alkenylene-; and

-(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub> cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R<sup>12</sup> is



R<sup>13</sup> and R<sup>14</sup> are independently selected from the group consisting of -CH<sub>2</sub>-,

-CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl), -CH=CH- and

-C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

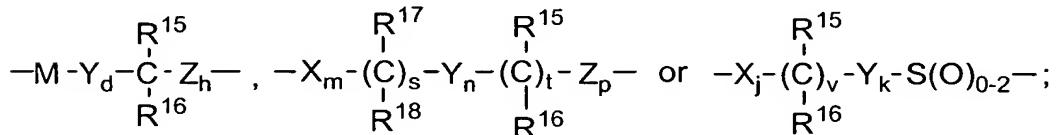
5 provided that when R<sup>13</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1;

provided that when R<sup>14</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and

provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;

and when Q is a bond, R<sup>1</sup> also can be:



10

M is -O-, -S-, -S(O)- or -S(O)2-;

X, Y and Z are independently selected from the group consisting of -CH<sub>2</sub>-,

-CH(C<sub>1</sub>-C<sub>6</sub>)alkyl- and -C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl);

15 R<sup>10</sup> and R<sup>11</sup> are independently selected from the group consisting of 1-3

substituents independently selected from the group consisting of

(C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>19</sup>,

-O(CO)NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>(CO)R<sup>20</sup>, -NR<sup>19</sup>(CO)OR<sup>21</sup>,

-NR<sup>19</sup>(CO)NR<sup>20</sup>R<sup>25</sup>, -NR<sup>19</sup>SO<sub>2</sub>R<sup>21</sup>, -COOR<sup>19</sup>, -CONR<sup>19</sup>R<sup>20</sup>, -COR<sup>19</sup>,

-SO<sub>2</sub>NR<sup>19</sup>R<sup>20</sup>, S(O)<sub>0-2</sub>R<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>19</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>19</sup>R<sup>20</sup>,

20 -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>19</sup>, -CH=CH-COOR<sup>19</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halogen;

R<sup>15</sup> and R<sup>17</sup> are independently selected from the group consisting of -OR<sup>19</sup>,

-O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup> and -O(CO)NR<sup>19</sup>R<sup>20</sup>;

R<sup>16</sup> and R<sup>18</sup> are independently selected from the group consisting of H,

(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl; or R<sup>15</sup> and R<sup>16</sup> together are =O, or R<sup>17</sup> and R<sup>18</sup> together are

25 =O;

d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

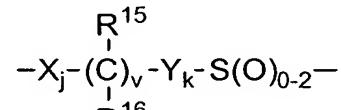
provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided

5 that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



and when Q is a bond and R<sup>1</sup> is , Ar<sup>1</sup> can also be  
pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl,  
10 pyrimidinyl or pyridazinyl;

R<sup>19</sup> and R<sup>20</sup> are independently selected from the group consisting of H,  
(C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

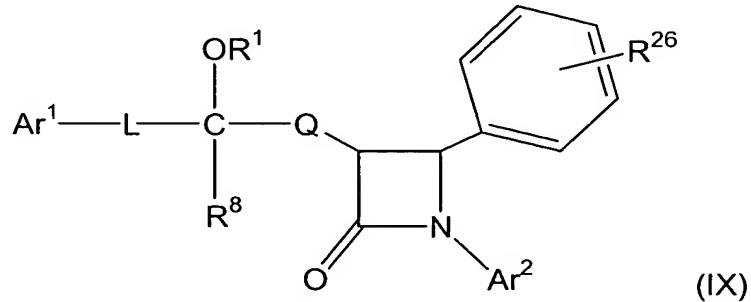
R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

15 R<sup>23</sup> and R<sup>24</sup> are independently 1-3 groups independently selected from the  
group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>,  
-NR<sup>19</sup>R<sup>20</sup>, -OH and halogeno; and

R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

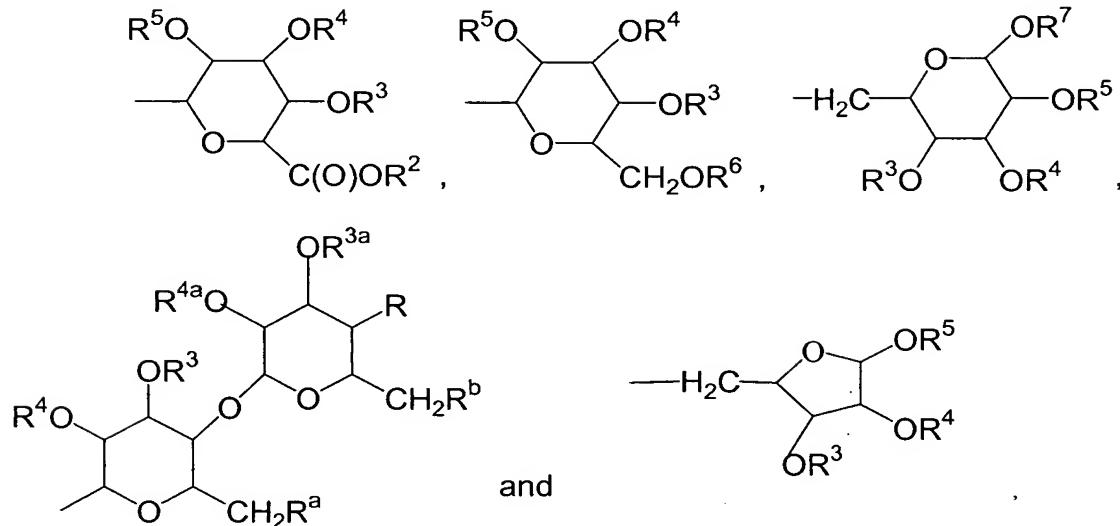
20 9. The method according to claim 1, wherein the at least one sterol  
absorption inhibitor is represented by Formula (IX):



or a pharmaceutically acceptable salt or solvate thereof, wherein in Formula (IX):

5  $R^1$  is selected from the group consisting of H, G,  $G^1$ ,  $G^2$ ,  $-SO_3H$  and  $-PO_3H$ ;

G is selected from the group consisting of: H,



10 wherein  $R$ ,  $R^a$  and  $R^b$  are each independently selected from the group consisting of H, -OH, halo,  $-NH_2$ , azido,  $(C_1-C_6)alkoxy(C_1-C_6)alkoxy$  or  $-W-R^{30}$ ;

15  $W$  is independently selected from the group consisting of  
- $NH-C(O)-$ , - $O-C(O)-$ , - $O-C(O)-N(R^{31})-$ , - $NH-C(O)-N(R^{31})-$  and  
- $O-C(S)-N(R^{31})-$ ;

20  $R^2$  and  $R^6$  are each independently selected from the group consisting of H,  
 $(C_1-C_6)alkyl$ , acetyl, aryl and aryl( $C_1-C_6)alkyl$ ;

$R^3$ ,  $R^4$ ,  $R^5$ ,  $R^7$ ,  $R^{3a}$  and  $R^{4a}$  are each independently selected from the group consisting of H,  $(C_1-C_6)alkyl$ , acetyl, aryl( $C_1-C_6)alkyl$ ,  $-C(O)(C_1-C_6)alkyl$  and  $-C(O)aryl$ ;

R<sup>30</sup> is independently selected from the group consisting of  
R<sup>32</sup>-substituted T, R<sup>32</sup>-substituted-T-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>2</sub>-C<sub>4</sub>)alkenyl,  
R<sup>32</sup>-substituted-(C<sub>1</sub>-C<sub>6</sub>)alkyl, R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl and R<sup>32</sup>-substituted-(C<sub>3</sub>-C<sub>7</sub>)cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl;

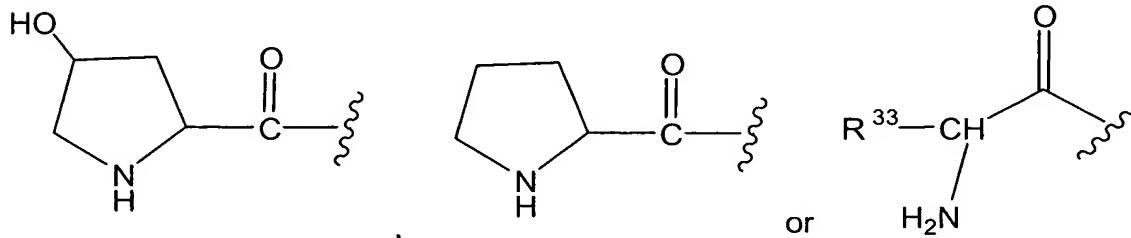
5

R<sup>31</sup> is independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

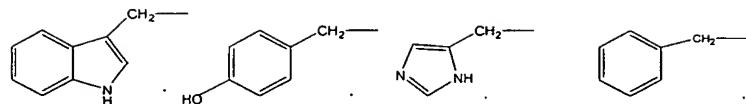
T is independently selected from the group consisting of phenyl, furyl, thienyl,  
pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, benzothiazolyl, thiadiazolyl,  
10 pyrazolyl, imidazolyl and pyridyl;

R<sup>32</sup> is independently selected from 1-3 substituents which are each  
independently selected from the group consisting of H, halo, (C<sub>1</sub>-C<sub>4</sub>)alkyl, -OH,  
phenoxy, -CF<sub>3</sub>, -NO<sub>2</sub>, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, methylenedioxy, oxo, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfanyl, (C<sub>1</sub>-  
15 C<sub>4</sub>)alkylsulfinyl, (C<sub>1</sub>-C<sub>4</sub>)alkylsulfonyl, -N(CH<sub>3</sub>)<sub>2</sub>, -C(O)-NH(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-N((C<sub>1</sub>-  
C<sub>4</sub>)alkyl)<sub>2</sub>, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, -C(O)-(C<sub>1</sub>-C<sub>4</sub>)alkoxy and pyrrolidinylcarbonyl; or R<sup>32</sup>  
is a covalent bond and R<sup>31</sup>, the nitrogen to which it is attached and R<sup>32</sup> form a  
pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C<sub>1</sub>-  
20 C<sub>4</sub>)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or  
morpholinyl group;

G<sup>1</sup> is represented by the structure:



wherein R<sup>33</sup> is independently selected from the group consisting of unsubstituted alkyl,  
R<sup>34</sup>-substituted alkyl, (R<sup>35</sup>)(R<sup>36</sup>)alkyl-,

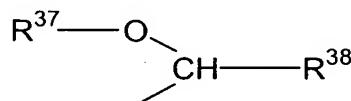


R<sup>34</sup> is one to three substituents, each R<sup>34</sup> being independently selected from the group consisting of HOOC-, HO-, HS-, (CH<sub>3</sub>)S-, H<sub>2</sub>N-, (NH<sub>2</sub>)(NH)C(NH)-, (NH<sub>2</sub>)C(O)- and HOOCCH(NH<sub>3</sub><sup>+</sup>)CH<sub>2</sub>SS-;

5 R<sup>35</sup> is independently selected from the group consisting of H and NH<sub>2</sub>-;

R<sup>36</sup> is independently selected from the group consisting of H, unsubstituted alkyl, R<sup>34</sup>-substituted alkyl, unsubstituted cycloalkyl and R<sup>34</sup>-substituted cycloalkyl;

10 G<sup>2</sup> is represented by the structure:



wherein R<sup>37</sup> and R<sup>38</sup> are each independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl;

15

R<sup>26</sup> is one to five substituents, each R<sup>26</sup> being independently selected from the group consisting of:

- a) H;
- b) -OH;
- c) -OCH<sub>3</sub>;
- d) fluorine;
- e) chlorine;
- f) -O-G;
- g) -O-G<sup>1</sup>;
- h) -O-G<sup>2</sup>;
- i) -SO<sub>3</sub>H; and
- j) -PO<sub>3</sub>H;

25

provided that when R<sup>1</sup> is H, R<sup>26</sup> is not H, -OH, -OCH<sub>3</sub> or -O-G;

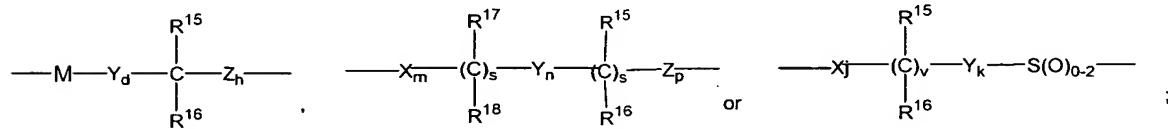
Ar<sup>1</sup> is aryl, R<sup>10</sup>-substituted aryl, heteroaryl or R<sup>10</sup>-substituted heteroaryl;

Ar<sup>2</sup> is aryl, R<sup>11</sup>-substituted aryl, heteroaryl or R<sup>11</sup>-substituted heteroaryl;

5

L is selected from the group consisting of:

- a) a covalent bond;
- b) -(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 1-6;
- c) -(CH<sub>2</sub>)<sub>e</sub>-E-(CH<sub>2</sub>)<sub>r</sub>-, wherein E is -O-, -C(O)-, phenylene, -NR<sup>22</sup>- or -S(O)<sub>0-2</sub>-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
- 10 d) -(C<sub>2</sub>-C<sub>6</sub>)alkenylene-;
- e) -(CH<sub>2</sub>)<sub>f</sub>-V-(CH<sub>2</sub>)<sub>g</sub>-, wherein V is C<sub>3</sub>-C<sub>6</sub>cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6; and
- f)



wherein M is -O-, -S-, -S(O)- or -S(O)<sub>2</sub>-,

X, Y and Z are each independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub>)alkyl- and -C(di-(C<sub>1</sub>-C<sub>6</sub>)alkyl)-;

20

R<sup>8</sup> is selected from the group consisting of H and alkyl;

R<sup>10</sup> and R<sup>11</sup> are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of (C<sub>1</sub>-C<sub>6</sub>)alkyl, -OR<sup>19</sup>, -O(CO)R<sup>19</sup>, -O(CO)OR<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-5</sub>OR<sup>19</sup>, -O(CO)NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>R<sup>20</sup>, -NR<sup>19</sup>(CO)R<sup>20</sup>, -NR<sup>19</sup>(CO)OR<sup>21</sup>,

-NR<sup>19</sup>(CO)NR<sup>20</sup>R<sup>25</sup>, -NR<sup>19</sup>SO<sub>2</sub>R<sup>21</sup>, -COOR<sup>19</sup>, -CONR<sup>19</sup>R<sup>20</sup>, -COR<sup>19</sup>, -SO<sub>2</sub>NR<sup>19</sup>R<sup>20</sup>, S(O)O-2R<sup>21</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>-COOR<sup>19</sup>, -O(CH<sub>2</sub>)<sub>1-10</sub>CONR<sup>19</sup>R<sup>20</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-COOR<sup>19</sup>, -CH=CH-COOR<sup>19</sup>, -CF<sub>3</sub>, -CN, -NO<sub>2</sub> and halo;

5 R<sup>15</sup> and R<sup>17</sup> are each independently selected from the group consisting of -OR<sup>19</sup>, -OC(O)R<sup>19</sup>, -OC(O)OR<sup>21</sup>, -OC(O)NR<sup>19</sup>R<sup>20</sup>;

10 R<sup>16</sup> and R<sup>18</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl;

15 d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1;

t is 0 or 1;

m, n and p are each independently selected from 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

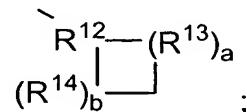
provided that when p is 0 and t is 1, the sum of m, n and p is 1-5; and provided that

20 when p is 0 and s is 1, the sum of m, t and n is 1-5;--

v is 0 or 1;

j and k are each independently 1-5, provided that the sum of j, k and v is 1-5;

25 Q is a bond, -(CH<sub>2</sub>)<sub>q</sub>-, wherein q is 1-6, or, with the 3-position ring carbon of the azetidinone, forms the spiro group



wherein R<sup>12</sup> is

$-\overset{\text{I}}{\text{CH}}-, -\overset{\text{I}}{\text{C}}(\text{C}_1\text{-C}_6 \text{ alkyl})-, -\overset{\text{I}}{\text{CF}}-, -\overset{\text{I}}{\text{C}}(\text{OH})-, -\overset{\text{I}}{\text{C}}(\text{C}_6\text{H}_4\text{-R}^{23})-, -\overset{\text{I}}{\text{N}}-, \text{ or } -\overset{\text{I}}{\text{NO}}^-;$

R<sup>13</sup> and R<sup>14</sup> are each independently selected from the group consisting of -CH<sub>2</sub>-, -CH(C<sub>1</sub>-C<sub>6</sub> alkyl)-, -C(di-(C<sub>1</sub>-C<sub>6</sub>) alkyl), -CH=CH- and -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-; or

5 R<sup>12</sup> together with an adjacent R<sup>13</sup>, or R<sup>12</sup> together with an adjacent R<sup>14</sup>, form a -CH=CH- or a -CH=C(C<sub>1</sub>-C<sub>6</sub> alkyl)- group;

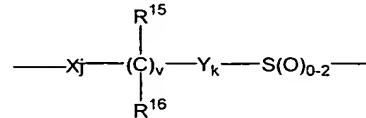
a and b are each independently 0, 1, 2 or 3, provided both are not zero;

provided that when R<sup>13</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, a is 1; provided that

10 when R<sup>14</sup> is -CH=CH- or -C(C<sub>1</sub>-C<sub>6</sub> alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R<sup>13</sup>'s can be the same or different; and provided that when b is 2 or 3, the R<sup>14</sup>'s can be the same or different;

and when Q is a bond and L is

15



then Ar<sup>1</sup> can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

20

R<sup>19</sup> and R<sup>20</sup> are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl and aryl-substituted (C<sub>1</sub>-C<sub>6</sub>)alkyl;

R<sup>21</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl or R<sup>24</sup>-substituted aryl;

25

R<sup>22</sup> is H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, aryl (C<sub>1</sub>-C<sub>6</sub>)alkyl, -C(O)R<sup>19</sup> or -COOR<sup>19</sup>;

R<sup>23</sup> and R<sup>24</sup> are each independently selected from the group consisting of 1-3 substituents which are each independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, -COOH, NO<sub>2</sub>, -NR<sup>19</sup>R<sup>20</sup>, -OH and halo; and

5 R<sup>25</sup> is H, -OH or (C<sub>1</sub>-C<sub>6</sub>)alkoxy.

10 10. The method according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a subject in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

11. The method according to claim 1, further comprising the step of administering at least one ant demyelination agent to the subject.

12. The method according to claim 11, wherein the ant demyelination agent is selected from the group consisting of beta interferon, glatiramer acetate and corticosteroids.

13. The method according to claim 1, further comprising the step of administering at least one HMG CoA reductase inhibitor to the subject.

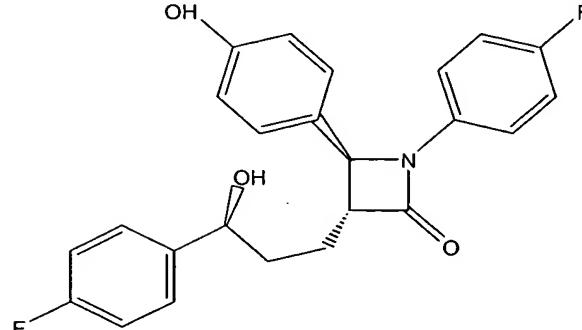
14. The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is atorvastatin.

15. The method according to claim 13, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

16. The method according to claim 1, wherein the subject has multiple sclerosis.

17. A method of treating or preventing demyelination in a subject is provided, comprising the step of administering to a subject in need of such treatment

an effective amount of at least one sterol absorption inhibitor represented by Formula (II) below:



(II)

5 or a pharmaceutically acceptable salt or solvate thereof.

18. A method of treating or preventing multiple sclerosis in a subject, comprising the step of administering to a subject in need of such treatment an effective amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof.

15 19. A composition comprising: (a) at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof and (b) at least one ant demyelination agent.

20. A therapeutic combination comprising: (a) a first amount of at least one sterol absorption inhibitor or a pharmaceutically acceptable salt or solvate thereof; and (b) a second amount of at least one ant demyelination agent, wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of demyelination in a subject.